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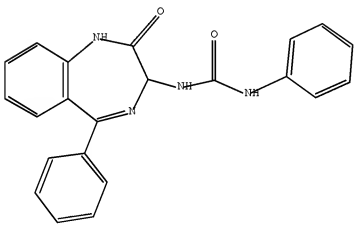


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TI   Preparation of aminobenzodiazepinones and pharmaceutical
compositions
     containing them for use against respiratory syncytial virus
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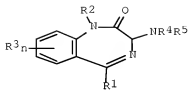
GI



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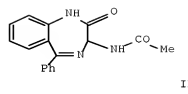

II

AB Benzodiazepines (shown as I; variables defined below; e.g. II) and pharmaceutically acceptable salts thereof, are active against respiratory syncytial virus (RSV). For I: R1 = C1-6 alkyl, aryl or heteroaryl; R2 = H or C1-6 alkyl; each R3 = halogen, hydroxy, C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, C1-6 haloalkyl, C1-6 haloalkoxy, amino, mono(C1-6 alkyl)amino, di(C1-6 alkyl)amino, nitro, cyano, -CO2RI, -CONRIRII, -NH-CO-RI, -S(O)RI, -S(O)2RI, -NH-S(O)2RI, -S(O)NRIRII or -S(O)2NRIRII wherein each RI and RII = H or C1-6 alkyl; n = 0-3; R4 = H or C1-6 alkyl; R6 = C1-6 alkyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, aryl-(C1-6 alkyl)-, heteroaryl-(C1-6 alkyl)-, carbocyclyl-(C1-6 alkyl)-, heterocyclyl-(C1-6 alkyl)-, aryl-C(O)-C(O)-, heteroaryl-C(O)-C(O)-, carbocyclyl-C(O)-C(O)-, heterocyclyl-C(O)-C(O)- or -XR6. X = -CO-, -S(O)- or -S(O)2-; and R6 = C1-6 alkyl, hydroxy, C1-6 alkoxy, C1-6 alkylthio, aryl, heteroaryl, carbocyclyl, heterocyclyl, aryl-(C1-6 alkyl)-, heteroaryl-(C1-6 alkyl)-, carbocyclyl-(C1-6 alkyl)-, heterocyclyl-(C1-6 alkyl)-, aryl-(C1-6hydroxyalkyl)-, heteroaryl-(C1-6 hydroxyalkyl)-, carbocyclyl-(C1-6 hydroxyalkyl)-, heterocyclyl-(C1-6 hydroxyalkyl)-, aryl-(C1-6alkyl)-O-, heteroaryl-(C1-6alkyl)-O-, carbocyclyl-(C1-6 alkyl)-O-, heterocyclyl-(C1-6 alkyl)-O- or -NRIRII wherein each RI and RII = H, C1-6 alkyl, carbocyclyl, heterocyclyl, aryl, heteroaryl, aryl-(C1-6 alkyl)-, heteroaryl-(C1-6 alkyl)-, carbocyclyl-(C1-6 alkyl)- or heterocyclyl-(C1-6 alkyl)-. Although the methods of preparation are not claimed, .apprx.80 example prepns. are included. For example, II was prepared by N-acetylation of 3-amino-5-phenyl-1,3- dihydrobenzo[e][1,4]diazepin-2-one; the reactant was prepared by deprotection of (2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3- yl)carbamic acid benzyl ester, which was prepared by cyclization of (2-aminophenyl)phenylmethanone with (benzotriazol-1-yl)(benzyloxycarbonylamino)acetic acid, which was prepared from glyoxylic acid monohydrate, benzotriazole and benzyl carbamate in toluene. Values for inhibition of RSV and toxicity were determined for >100 examples of I.

ACCESSION NUMBER: 2004:267311 HCAPLUS Full-text

DOCUMENT NUMBER: 140:287417

TITLE: Preparation of aminobenzodiazepinones and pharmaceutical compositions containing them for use against respiratory syncytial virus

INVENTOR(S): Carter, Malcolm; Henderson, Elisa; Kelsey, Richard;
Wilson, Lara; Chambers, Phil; Taylor, Debra; Tyms,
Stan
PATENT ASSIGNEE(S): Arrow Therapeutics Limited, UK
SOURCE: PCT Int. Appl., 134 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

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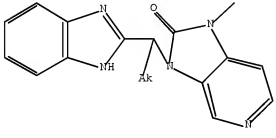

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L18 1 S E27

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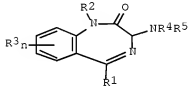
L19 1 S L12 AND (SYNCYTIAL?)

L19 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2009 ACS on STN
TI Preparation of aminobenzodiazepinones and pharmaceutical compositions
containing them for use against respiratory syncytial virus
GI



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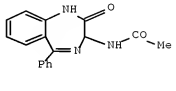

II

AB Benzodiazepines (shown as I; variables defined below; e.g. II) and pharmaceutically acceptable salts thereof, are active against respiratory syncytial virus (RSV). For I: R1 = C1-6 alkyl, aryl or heteroaryl; R2 = H or C1-6 alkyl; each R3 = halogen, hydroxy, C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, C1-6 haloalkyl, C1-6 haloalkoxy, amino, mono(C1-6 alkyl)amino, di(C1-6 alkyl)amino, nitro, cyano, -CO2RI, -CONRIRII, -NH-CO-RI, -S(O)RI, -S(O)2RI, -NH-S(O)2RI, -S(O)NRIRII or -S(O)2NRIRII wherein each RI and RII = H or C1-6 alkyl; n = 0-3; R4 = H or C1-6 alkyl; R6 = C1-6 alkyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, aryl-(C1-6 alkyl)-, heteroaryl-(C1-6 alkyl)-, carbocyclyl-(C1-6 alkyl)-, heterocyclyl-(C1-6 alkyl)-, aryl-C(O)-C(O)-, heteroaryl-C(O)-C(O)-, carbocyclyl-C(O)-C(O)-, heterocyclyl-C(O)-C(O)- or -XR6. X = -CO-, -S(O)- or -S(O)2-; and R6 = C1-6 alkyl, hydroxy, C1-6 alkoxy, C1-6 alkylthio, aryl, heteroaryl, carbocyclyl, heterocyclyl, aryl-(C1-6 alkyl)-, heteroaryl-(C1-6 alkyl)-, carbocyclyl-(C1-6 alkyl)-, heterocyclyl-(C1-6 alkyl)-, aryl-(C1-6hydroxyalkyl)-, heteroaryl-(C1-6 hydroxyalkyl)-, carbocyclyl-(C1-6 hydroxyalkyl)-, heterocyclyl-(C1-6 hydroxyalkyl)-, aryl-(C1-6alkyl)-O-, heteroaryl-(C1-6alkyl)-O-, carbocyclyl-(C1-6 alkyl)-O-, heterocyclyl-(C1-6 alkyl)-O- or -NRIRII wherein each RI and RII = H, C1-6 alkyl, carbocyclyl, heterocyclyl, aryl, heteroaryl, aryl-(C1-6 alkyl)-, heteroaryl-(C1-6 alkyl)-, carbocyclyl-(C1-6 alkyl)- or heterocyclyl-(C1-6 alkyl)-. Although the methods of preparation are not claimed, .apprx.80 example prepns. are included. For example, II was prepared by N-acetylation of 3-amino-5-phenyl-1,3- dihydrobenzo[e][1,4]diazepin-2-one; the reactant was prepared by deprotection of (2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3- yl)carbamic acid benzyl ester, which was prepared by cyclization of (2-aminophenyl)phenylmethanone with (benzotriazol-1-yl)(benzyloxycarbonylamino)acetic acid, which was prepared from glyoxylic acid monohydrate, benzotriazole and benzyl carbamate in

toluene. Values for inhibition of RSV and toxicity were determined for >100 examples of I.

ACCESSION NUMBER: 2004:267311 HCAPLUS Full-text
DOCUMENT NUMBER: 140:287417
TITLE: Preparation of aminobenzodiazepinones and pharmaceutical compositions containing them for use against respiratory syncytial virus
INVENTOR(S): Carter, Malcolm; Henderson, Elisa; Kelsey, Richard; Wilson, Lara; Chambers, Phil; Taylor, Debra; Tyms, Stan
PATENT ASSIGNEE(S): Arrow Therapeutics Limited, UK
SOURCE: PCT Int. Appl., 134 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004026843	A1	20040401	WO 2003-GB4050	20030922 <--

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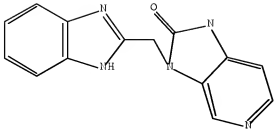

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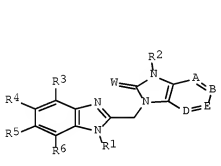
L24 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2009 ACS on STN
TI Preparation of imidazopyridine and imidazopyrimidine antiviral agents
GI



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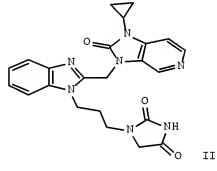

II

AB The title compds. [I; W = O, S; R1 = (CR'R'')nX; X = H, alkyl, cycloalkyl, etc.; n = 2-6; R2 = H, alkyl, cycloalkyl, etc.; R3-R6 = H, halo, alkyl, etc.; A, B, E, D = CH, CQ, N, NO; provided at least one of A, B, E or D is not CH or CQ; Q = halo, alkyl, alkyl substituted with 1-3 halogen atoms; R', R'' = H, alkyl, cycloalkyl, etc.], useful in the treatment of viral infections, more particularly, for the treatment of respiratory syncytial virus infection, were prepared Thus, reacting I [W = O; R1 = (CH2)3NH2; R2 = cyclopropyl; R3-R6 = H; E = N; A, B, D = CH] (preparation given) with N-chloroacetylurethane in the presence of Na2CO3 in MeCN afforded 39% II.TFA. The compds. I showed antiviral activity against RSV with EC50's between 50 μM and 0.001 μM vs. Ribavirin with an EC50 of 3 μM.

ACCESSION NUMBER: 2001:923615 HCAPLUS Full-text
DOCUMENT NUMBER: 136:37623

TITLE: Preparation of imidazopyridine and imidazopyrimidine antiviral agents

INVENTOR(S): Yu, Kuo-Long; Civiello, Rita L.; Combrink, Keith D.; Gulgeze, Hatice Belgin; Sin, Ny; Wang, Xiangdong; Meanwell, Nicholas A.; Venables, Brian Lee

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 196 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001095910	A1	20011220	WO 2001-US14775	20010508 <--
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OTHER SOURCE(S): MARPAT 136:37623
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C07D473-30; C07D487-02
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
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preparation); THU (Therapeutic use); BIOL (Biological study); PREP
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380604-51-3P 380604-52-4P 380604-53-5P 380604-54-6P
380604-55-7P
380604-56-8P 380604-57-9P 380604-58-0P 380604-59-1P
380605-63-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation);
USES
(Uses)

```
L1              STRUCTURE UPLOADED
L2            2 S L1 SSS SAM
L3            9 S L1 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 15:06:11 ON 28 DEC 2009
L4           16 S L3
L5            2 S L4 AND (PY<2004 OR AY<2004 OR PRY<2004)

     FILE 'REGISTRY' ENTERED AT 15:07:09 ON 28 DEC 2009
                E 380603-12-3/RN
                SET EXPAND CONTINUOUS
L6            1 S E3
L7            1 S E10
```

E 380603-70-3/RN

L8 1 S E15
L9 1 S E16
L10 1 S E13